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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS NEWS	1 2	OCT	04	Web Page for STN Seminar Schedule - N. America Precision of EMMPASE searching enhanced with new chemical name field
NEWS	3	OCT	06	Increase your retrieval consistency with new formats or for Taiwanese application numbers in CA/CAplus.
NEWS	4	OCT	21	CA/CAplus kind code changes for Chinese patents increase consistency, save time
NEWS	5	OCT	22	New version of STN Viewer preserves custom highlighting of terms when patent documents are saved in .rtf format
NEWS	6	OCT	28	INPADOCDB/INPAFAMDB: Enhancements to the US national patent classification.
NEWS	7	NOV	03	New format for Korean patent application numbers in CA/CAplus increases consistency, saves time.
NEWS	8	NOV	04	Selected STN databases scheduled for removal on December 31, 2010
NEWS	9	NOV	18	PROUSDDR and SYNTHLINE Scheduled for Removal December 31, 2010 by Request of Prous Science
NEWS	10	NOV	22	Higher System Limits Increase the Power of STN Substance-Based Searching
NEWS	11	NOV	24	Search an additional 46,850 records with MEDLINE backfile extension to 1946
NEWS	12	DEC	14	New PNK Field Allows More Precise Crossover among STN
				Patent Databases
NEWS		DEC		ReaxysFile available on STN
NEWS		DEC		CAS Learning Solutions a new online training experience
NEWS		DEC		Value-Added Indexing Improves Access to World Traditional Medicine Patents in CAplus
NEWS		JAN	24	The new and enhanced DPCI file on STN has been released
NEWS	17	JAN	26	Improved Timeliness of CAS Indexing Adds Value to USPATFULL and USPAT2 Chemistry Patents
NEWS	18	JAN	26	Updated MeSH vocabulary, new structured abstracts, and other enhancements improve searching in STN reload of MEDLINE
NEWS	19	JAN	28	CABA will be updated weekly
NEWS		FEB		PCTFULL file on STN completely reloaded
NEWS		FEB		STN AnaVist Test Projects Now Available for
MEMO	21	2 20	20	Oualified Customers
NEWS	22	FEB	2 5	
NEWS		MAR		LPCI will be replaced by LDPCI
NEWS	23	MAR	U /	Prioring for SELECTing Patent, Application, and Priority Numbers in the USPAT and IFI Database Families is Now Consistent with Similar Patent Databases on STN

NEWS EXPRESS 17 DECEMBER 2010 CURRENT WINDOWS VERSION IS V8.4.2 .1, AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2011.

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=> file registry

COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE

TOTAL SESSION 0.23

ENTRY

0.23

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Uploading C:\Documents and Settings\vrodriquezgarcia\My Documents\e-Red Folder\10598846\L1.str

```
chain nodes :
22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 23 24
25 26 27 28
chain bonds :
11-16 19-22 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 9-12 10-11 10-15 12-13
13-14 14-15 16-17 16-21 17-18 18-19 19-20 20-21 23-24 23-28 24-25 25-26
26-27 27-28
exact/norm bonds :
5-7 6-11 7-8 8-9 10-11 16-17 16-21 17-18 18-19 19-20 19-22 20-21
exact bonds :
11-16 22-23
normalized bonds :
```

Match level :

 1:Atom
 2:Atom
 3:Atom
 4:Atom
 5:Atom
 6:Atom
 7:Atom
 8:Atom
 9:Atom
 10:Atom

 11:Atom
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 16:Atom
 16:Atom
 16:Atom
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 18:Atom
 18:Atom

 20:Atom
 21:Atom
 22:CLASS
 23:Atom
 24:Atom
 25:Atom
 26:Atom
 27:Atom
 28:Atom

=> s sam sss 11 SAMPLE SEARCH INITIATED 08:45:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS SEARCH TIME: 00.00.01 1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS: BATCH **COMPLETE**
PROJECTED ANSWERS: 4669 TO 6691
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> file zcaplus

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 2.04
 2.27

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FILE COVERS 1907 - 13 Apr 2011 VOL 154 ISS 16
FILE LAST UPDATED: 12 Apr 2011 (20110412/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s sam sss 11

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 08:46:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS 1 ANSWERS

DIRECT 111111. 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4669 TO 66

PROJECTED ITERATIONS: 4669 TO 6691
PROJECTED ANSWERS: 1 TO 80

L3 1 SEA SSS SAM L1

L4 0 L3

=> file registry

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 0.08
 2.94

FOLL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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DICTIONARY FILE UPDATES: 11 APR 2011 HIGHEST RN 1278651-19-6

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=> s sam sss 11

SAMPLE SEARCH INITIATED 08:46:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4669 TO 6691
PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L1

=> d sca

L5 1 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[1-(3-pyridinyl)ethyl]-4-piperidinylidene]-

MF C26 H26 C1 N3 CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=>

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```
22 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 23 24
25 26 27 28
chain bonds :
11-16 19-22 22-23 22-29 22-30
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 9-12 10-11 10-15 12-13
13-14 14-15 16-17 16-21 17-18 18-19 19-20 20-21 23-24 23-28 24-25 25-26
26-27 27-28
exact/norm bonds :
5-7 6-11 7-8 8-9 10-11 16-17 16-21 17-18 18-19 19-20 19-22 20-21
exact bonds :
11-16 22-23 22-29 22-30
normalized bonds :
```

chain nodes :

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS 30:CLASS

=> s sam sss 16

SAMPLE SEARCH INITIATED 08:48:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 4669 TO 6691 PROJECTED ANSWERS: 0 TO

O SEA SSS SAM L6

=> s full sss 16

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y

FULL SEARCH INITIATED 08:50:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -5877 TO ITERATE

5877 ITERATIONS 100.0% PROCESSED SEARCH TIME: 00.00.01

31 ANSWERS

31 SEA SSS FUL L6

=> file zcaplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 200.43 203.37

FULL ESTIMATED COST

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=> s 18 L9 124 L8

=> file registry COST IN U.S. DOLLARS

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 1.84
 205.21

1000 0011111100 0001

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=> d sca 18

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-

benzo[5,6]cvclohepta[1,2-b]pvridin-11-vlidene)-1-piperidinvl]methvl]-

MF C26 H24 C1 N3 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
 8-chloro-6,11-dihydro-11-[1-[5-methy1-3-pyridiny1)methy1]-4piperidinylidene]-, nitrate (1:?)
- MF C26 H26 C1 N3 . x H N O3

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
- 8-chloro-6,11-dihydro-11-[1-((5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2R,3R)-2,3-dihydroxybutanedioate (9CI)
- MF C26 H26 C1 N3 . x C4 H6 O6

CM 1

CM 2

Absolute stereochemistry.

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- SH-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(1-oxido-3-pyridinyl)methyl]-4-piperidinylidene]-C25 H24 Cl N3 O IN
- MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:3)

MF C26 H26 C1 N3 . 3 C1 H

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]-, methyl ester
- MF C27 H26 C1 N3 O2
- CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 5H-Benzo(5,6)cyclohepta[1,2-b)pyridine,
 8-chloro-6,11-dihydro-11-[1-(5-methy1-3-pyridiny1)methy1]-4piperidinylidene|-, sulfate (1:?)
- MF C26 H26 C1 N3 . x H2 O4 S

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 11-[1-[(5-bromo-3-pyridiny1)methy1]-4-piperidinylidene]-8-chloro-6,11dihydro-
- MF C25 H23 Br C1 N3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dlhydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]-
- MF C26 H26 C1 N3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file zcaplus COST IN U.S. DOLLARS

SINCE FILE SESSION ENTRY 206.23

TOTAL

FULL ESTIMATED COST 1.02

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                STRUCTURE UPLOADED
L2
              1 S SAM SSS L1
     FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011
                S L1
    FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011
              1 S L1 SSS SAM
    FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011
              0 S L3 SSS SAM
T. 4
    FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011
              1 S SAM SSS L1
L5
L6
                STRUCTURE UPLOADED
              0 S SAM SSS L6
L8
             31 S FULL SSS L6
     FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011
L9
            124 S L8
     FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011
     FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011
=> s 19 and (crystal or crystalline)
       1632422 CRYSTAL
        765969 CRYSTALS
       1962260 CRYSTAL
                 (CRYSTAL OR CRYSTALS)
         98110 CRYSTALLINE
          343 CRYSTALLINES
        98423 CRYSTALLINE
                 (CRYSTALLINE OR CRYSTALLINES)
        419323 CRYST
          1805 CRYSTS
        420595 CRYST
                 (CRYST OR CRYSTS)
        454916 CRYSTALLINE
                 (CRYSTALLINE OR CRYST)
L10
             4 L9 AND (CRYSTAL OR CRYSTALLINE)
=> d ibib abs hitstr 1-4
THE ESTIMATED COST FOR THIS REQUEST IS 23.84 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:y
L10 ANSWER 1 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:
                         2008:1521725 ZCAPLUS
DOCUMENT NUMBER:
                         150:84138
TITLE:
                         Quality control method of rupatadine fumarate
INVENTOR(S):
                         Peng, Hongwei; Yang, Wei; Zhao, Bin; Zeng, Yujian;
                         Zhao, Haifeng; Dong, Zhaoyong
PATENT ASSIGNEE(S):
                         Guangdong Kanghong Pharmaceutical Co. , Ltd., Peop.
                         Rep. China
SOURCE:
                         Faming Zhuanli Shenqing Gongkai Shuomingshu, 39pp.
                         CODEN: CNXXEV
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        Chinese
```

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PATENT NO.		DATE	APPLICATION NO.	
	CN 101324551			CN 2007-10028542	20070612
PRIC	RITY APPLN. INFO.:			CN 2007-10028542	20070612
AB	Rupatadine fumarate	is a k	ind of anti-	allergic medicine with	n antihistamine
	effect and antagoni	stic ac	tivity to pl	atelet activating fact	or, and can be
	used for treating a	llergio	rhinitis.	The title quality cont	rol method of
				part of or whole chara	
				ty, solubility and m.	
				ance liquid chromatog.	
				ole detection of chlor	
				drying, combustion res	
				ontent measurement via	
				itration The quality	
				curacy, and is simple	
IT	158876-82-5, Rupata		and di	consuct, and so campac	an openation.
			erapeutic us	e); ANST (Analytical :	study): BIOL
	(Biological study);			,,	
	(quality control			ne fumarate)	
RN	158876-82-5 ZCAPLU		or rapacaas	2 amaz aco,	
CN	5H-Benzo[5,6]cycloh		2-blowriding	· .	
CIN				3-pyridinyl)methyl]-	1_
	o chicolo of il dinyd	TO II [I [(O MCCH) a	. o pyrrarnyr/meenyr,	

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L10 ANSWER 2 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN 2008:927512 ZCAPLUS

ACCESSION NUMBER:

piperidinylidene] - (CA INDEX NAME)

DOCUMENT NUMBER: 150:523475

TITLE: Polymorphs of rupatadine fumarate

INVENTOR(S): Darji, Dharmendra Arvindbhai; Patel, Mahesh

Shankarbhai; Kumar, Rajiv; Dwivedi, Shriprakash Dhar

PATENT ASSIGNEE(S): Cadila Healthcare Limited, India SOURCE: Indian Pat. Appl., 30pp.

CODEN: INXXBQ DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATI	ENT NO.	KIND	DATE	APPLICATION NO.	DATE
PRIORITY AB A c. pow IT 182 RL: BIO RN 182 CN 5H-1 8-cl	der diffraction 849-12-8P PRP (Propertie (Biological se (polymorphs of 849-12-8 ZCAPI 3enzo[5,6]cyclo sloro-6,11-dihy	es); SPN study); I rupatad: US hepta[1,	(Synthetic PREP (Prepar ine fumarate ,2-b)pyridin [1-[(5-methy		rapeutic use)
CM	1				
CRN CMF		3			
N CC	C1				
CM	2				
CRN CMF	110-17-8 C4 H4 O4				

Double bond geometry as shown.

IT 158876-82-5

RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(polymorphs of rupatadine fumarate)

RN 158876-82-5 ZCAPLUS CN 5H-Benzo[5,6]cvclohe

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methy1-3-pyridiny1)methy1]-4piperidiny1idene]- (CA INDEX NAME)

L10 ANSWER 3 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN

KIND DATE

ACCESSION NUMBER: 2007:5893 ZCAPLUS

DOCUMENT NUMBER: 146:128584

TITLE: New disintegrant tablet formulation of rupatadine

INVENTOR(S): Liao, Juan; Chen, Yang

PATENT ASSIGNEE(S): Beijing D-Venturepharm.T. Corp., Peop. Rep. China SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp. COODEN: CNXXEV

DOCUMENT TYPE: Patent
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.

CN 1883480	A	20061227	CN 2005-10077340	20050622
PRIORITY APPLN. INFO.:			CN 2005-10077340	20050622
			rant tablet formulation	
			sed of rupatadine, exc	
			disintegrant, lubrica	
and sweetening age	nt. The	formulation	n mav be tablet, dispe	ersing tablet,

agent, diluting agent, binding agent, disintegrant, lubricant, wetting agent, and sweetening agent. The formulation may be tablet, dispersing tablet, orally disintegrating tablet, and/or capsule. The preparation of tablet comprises, for example, (1) sieving rupatadine fumarate with 100 mesh sieve, magnesium stearate with 60 mesh sieve, orall sieve, orall swith 80 mesh sieve; (2) mixing main drug with lactose, then with other adjuvants; (3) prilling with 10% starch syrup, drying at 50 °C; (4) mixing with magnesium stearate, and pressing to obtain the product.

APPLICATION NO.

DATE

IT 158876-82-5, Rupatadine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (new disintegrant tablet formulation of rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cvclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

L10 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1030442 ZCAPLUS

DOCUMENT NUMBER: 145:397370

TITLE: Process for the preparation of a polymorphic

crystalline form of rupatadine free base
INVENTOR(S): Parthasaradhi Reddy. Bandi: Rathnakar Reddy

INVENTOR(S): Parthasaradhi Reddy, Bandi; Rathnakar Reddy, Kura;
Raji Reddy, Rapolu; Muralidhara Reddy, Dasari; Subash

Chander Reddy, Kesireddy

PATENT ASSIGNEE(S): Hetero Drugs Limited, India SOURCE: PCT Int. Appl., 15pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.								
						1 20061005				WO 2005-IN97						0050	401	
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
							DE,											
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,	
		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,	
		KZ,	MD,	RU,	TJ,	TM												
EF	1863	788							EP 2005-742906						20050401			
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
IN	2006	CN01	189		A		2007	0720		IN 2	006-	CN11	89		2	0060	406	
US	2009	0197	907		A1		2009	0806		US 2	009-	5988	46		2	0090	324	
PRIORIT	Y APF	LN.	INFO	. :						WO 2	005-	IN97		1	W 2	0050	401	
ASSIGNM	MENT H	ISTO	RY F	OR U	S PA	TENT	AVA	ILAB:	LE I	N LS	US D	ISPL	AY F	ORMA'	T			
OTHER S	OTHER SOURCE(S): CASREACT 145:397370																	
AB A	AB A novel crystalline form of rupatadine free base, a process for its																	

 \mbox{AB} A novel crystalline form of rupatadine free base, a process for its preparation, and a pharmaceutical composition containing it are described. Rupatadine

is suspended in n-hexane, n-heptane, cyclohexane, di-Et ether, or

diisopropyl ether, stirred for at least $1\ h$, the solid filtered and dried to give crystalline rupatadine form B.

IT 182349-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in a process for the preparation of a polymorphic crystalline form of rupatadine free base)

RN 182349-12-8 ZCAPLUS CN 5H-Benzo[5,6]cvclohepta[1,2-b]pvridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

IT 158876-82-5P, Rupatadine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(process for the preparation of a polymorphic crystalline form of rupatadine free base)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

L3

L9

L10

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

2

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

STRUCTURE UPLOADED

1 S SAM SSS L1 L2

> FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011

L40 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011 L5

1 S SAM SSS L1

L6 STRUCTURE UPLOADED L7 0 S SAM SSS L6

1.8 31 S FULL SSS L6

FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011 124 S L8

FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011 4 S L9 AND (CRYSTAL OR CRYSTALLINE)

FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011

=> s 19 and polymorph

11005 POLYMORPH

12989 POLYMORPHS

19151 POLYMORPH

(POLYMORPH OR POLYMORPHS)

1 L9 AND POLYMORPH

=> s 19 and polymorph?

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306775 POLYMORPH?
            5 L9 AND POLYMORPH?
=> s 112 not 110
L13
       3 L12 NOT L10
=> s 19 (L) polymorph?
       306775 POLYMORPH?
L14
            2 L9 (L) POLYMORPH?
=> s 19 (W) polymorph?
       306775 POLYMORPH?
L15
            1 L9 (W) POLYMORPH?
=> s 114 not 113
            2 L14 NOT L13
=> s 114 and 113
           0 L14 AND L13
=> s 114 not 110
L18
            0 L14 NOT L10
```

=> d ibib abs hitstr 113 1-3 THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:y

L13 ANSWER 1 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2010:785907 ZCAPLUS

DOCUMENT NUMBER: 153:108912 TITLE: Oxepine modulators of h1 receptors and/or inhibitors

of mast cell degranulation

INVENTOR(S): Gant, Thomas G.; Shahbaz, Manouchehr M. PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 51pp.

CODEN: USXXCO DOCUMENT TYPE: Pat.ent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT			KIND DATE						ICAT							
	US 20100160272 WO 2010080577				A1 20100624											
WO 2010	WO 2010080577				A3 20101028											
W:	AE, AG	AL,	AM,	AO,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
	CA, CH	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	
	ES, FI	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
	KE, KG	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	
	MD, ME	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,	
	PG, PH	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	
	SY, TJ															
RW:	AT, BE	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
	IE, IS	IT.	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	
	SK, SM	TR.	BF.	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GO,	GW,	ML,	MR,	NE,	
	SN, TD	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	
	ZM, ZW	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA		
PRIORITY APP	LN. INF).:						US 2	008-	1385	68P		P 2	0081	218	
ASSIGNMENT H	ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT															
OTHER SOURCE	OTHER SOURCE(S). MADRAT 153:108912															

ASSI MARPAT 153:108912 AB The present invention relates to new oxepine modulators of H1 receptors and/or inhibitors of mast cell degranulation, pharmaceutical compns. thereof, and methods of use thereof.

IT 158876-82-5, Rupatadine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (deuterium-enriched oxepine modulators of H1 receptors and/or inhibitors of mast cell degranulation)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidenel- (CA INDEX NAME)

L13 ANSWER 2 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:596607 ZCAPLUS

DOCUMENT NUMBER: 152:548261

TITLE: Preparation of deuterated steroid modulators of

glucocorticoid receptor
INVENTOR(S): Gant, Thomas G.; Shahbaz, Manouchehr

PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE:

PA:	PATENT NO.					KIND DATE			APPLICATION NO.						DATE		
						-											
WO	2010	0541	58		A2		2010	0514		WO 2	009-	US63	501		2	0091	106
WO	2010	0541	58		A3		2010	0819									
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		CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
		ES,	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
		MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,
		PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
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	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	SM,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,
		ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA	
US 20100120733 A1 2				2010	20100513 US 2009-613628							20091106					

PRIORITY APPLN. INFO.: US 2008-112268P P 20081107 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 152:548261

AB The present invention relates to new steroid modulators I [R1 - R31 and R35 - R41 are independently selected from the group consisting of H or deuterium; R32 and R33 are independently selected from the group consisting of Me, CH2D, CHD2, CD3; R34 = OC(:0)CR41(CR3)R36R37)(CR3)R39R40); and at least one of R1 - R33 and R35 - R41 is deuterium or contains deuterium], or a pharmaceutically acceptable salt thereof, of glucocorticoid receptor activity, pharmaceutical compns. thereof, and methods of use thereof. The physiol. of I was studied using: an in vitro liver microsomal stability assay; an in vitro metabolism assay with human cytochrome P 450 enzymes; and, an assay with monoamine oxidase

inhibition and oxidative turnover. IT 158876-82-5, Rupatadine

GI

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination chemotherapy antihistamine; preparation of deuterated steroid modulators of glucocorticoid receptor) 158876-82-5 ZCAPLUS

L13 ANSWER 3 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:42786 ZCAPLUS

DOCUMENT NUMBER: 148:119180

TITLE: Genetic markers in tachykinin NK1 receptor gene TACR1

that correlate with asthma disorders

INVENTOR(S): Halapi, Eva; Hakonarson, Hakon
PATENT ASSIGNEE(S): Decode Genetics Ehf., USA

SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPLICATION NO.					D.	ATE	
WO	WO 2008006105 WO 2008006105				A2 20080110 A9 20080403									20070709			
WO	2008	0061	05		A3		2008	0814									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH.	CN.	CO.	CR.	CU,	CZ,	DE,	DK.	DM.	DO.	DZ.	EC.	EE,	EG,	ES,	FI.
							GT,										
							LA,										
							MY.										
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	sv,	SY,	TJ,	TM,	TN,
		TR.	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN.	ZA,	ZM,	ZW				
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		BJ,	CF.	CG,	CI,	CM,	GA,	GN,	GO,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM.	KE.	LS.	MW.	MZ.	NA.	SD,	SL,	SZ.	TZ.	UG.	ZM.	ZW.	AM.	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA					
PRIORITY	APP	LN.	INFO	. : `						US 2	006-	8191	98P	1	P 2	0060	707

OTHER SOURCE(S): MARPAT 148:119180

AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility gene for asthma. Methods of diagnosis of susceptibility to asthma, of decreased susceptibility to asthma and protection against asthma, are

described, as are methods of treatment for asthma.

IT 158876-82-5, Rupatadine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (genetic markers in tachykinin NK1 receptor gene TACR1 that correlate with asthma disorders) RN 158876-82-5 ZCAPLUS

> 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6, 11-dihydro-11-[1-[(5-methy1-3-pyridiny1)methy1]-4piperidinvlidenel- (CA INDEX NAME)

=> d his

L4

CN

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

STRUCTURE UPLOADED L1 L2 1 S SAM SSS L1

FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 L3 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011

0 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011

 L_5 1 S SAM SSS L1

STRUCTURE UPLOADED L6

0 S SAM SSS L6

L8 31 S FULL SSS L6

FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011 L9 124 S L8

FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011

FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011

L10 4 S L9 AND (CRYSTAL OR CRYSTALLINE)

1 S L9 AND POLYMORPH

L12 5 S L9 AND POLYMORPH?

3 S L12 NOT L10

L14 2 S L9 (L) POLYMORPH?

1 S L9 (W) POLYMORPH?

L16 2 S L14 NOT L13 L17 0 S L14 AND L13 T.18 0 S L14 NOT L10

=> s 19/prep

FIELD CODES CANNOT BE CHANGED HERE

You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s 18/prep

124 L8

5204403 PREP/RL L19 21 L8/PREP

(L8 (L) PREP/RL)

=> s 119 not 110

L20 19 L19 NOT L10

=> s 120 not 113

19 L20 NOT L13

=> d ibib abs hitstr 17-19

THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:v

L21 ANSWER 17 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 1996:635179 ZCAPLUS

DOCUMENT NUMBER:

125:275664 ORIGINAL REFERENCE NO.: 125:51553a,51556a

TITLE:

8-Chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4piperidylidene]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine fumarate and its

preparation and use as a PAF antagonist and

antihistaminic

INVENTOR(S): Carceller, Elena; Recasens, Nuria; Almansa, Carmen;

Bartroli, Javier; Merlos, Manel; Giral, Marta

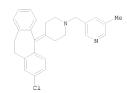
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain SOURCE: Span., 11 pp.

CODEN: SPXXAD DOCUMENT TYPE: Patent Spanish

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2087818	A1	19960716	ES 1993-2460	19931124
ES 2087818	B1	19970316		
NO 9404487	A	19950526	NO 1994-4487	19941123
PRIORITY APPLN. INFO.:			ES 1993-2460 A	19931124



AB The title salt I-fumarate is prepared for use as an antagonist of PAF (platelet activating factor) and an antihistaminic (no data). I-fumarate has improved hygroscopicity and light stability in comparison to I.3HCl or the free base I. For example, I was prepared from loratadine by a sequence of: hydrolytic removal of the N-ethoxycarbonyl group (84%), N-acylation with 5-methylnicotinic acid using DCC and HOBt (65%), and chlorination/reduction of the amide using PCC13 followed by NaBH4 (72%). Treatment of I with fumaric acid in BtOH gave 70% I-fumarate. When exposed to 98% humidity for 24 h, H2C contents were 5.7% for I, and 28.3% for I.3HCl, but only 0.29% for I-fumarate. Similarly, irradiation at 150 klx for I h reduced purities to 92.7% for I, to 74% for I.3HCl, but only to

99.2% for I.fumarate. II 158876-82-5P

RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(comparison compound; preparation of benzocycloheptapyridine derivative fumarate

salt as PAF antagonist and antihistaminic with improved properties)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

IT 156611-76-6P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(comparison compound; preparation of benzocycloheptapyridine derivative

fumarate
salt as PAF antagonist and antihistaminic with improved properties)

RN 156611-76-6 ZCAPLUS CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HCl

IT 182349-12-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzocycloheptapyridine derivative fumarate salt as PAF antagonist and antihistaminic with improved properties)

RN 182349-12-8 ZCAPLUS CN 5H-Benzo[5,6]cvclohept

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM

2 CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L21 ANSWER 18 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:680552 ZCAPLUS DOCUMENT NUMBER: 121:280552

ORIGINAL REFERENCE NO.: 121:51219a,51222a

TITLE: Process for preparation of

8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-

piperidylidene]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine and analogs as

antihistaminics and PAF antagonists

Carceller, Elena; Recasens, Nuria; Almansa, Carmen; Almansa, Javier; Merlos, Manuel; Giral, Marta;

Garcia-Rafanell, Julian; Forn, Javier

J. Uriach y Cia S.A., Spain PATENT ASSIGNEE(S):

INVENTOR(S):

SOURCE: Span., 18 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent.

LANGUAGE: Spanish FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. ES 2042421 A1 19931201 ES 1992-1054 19920522 19940801 ES 2042421 B1 CA 2096318 A1 19931123 CA 1993-2096318 19930514 C 19980623 A 19950418 US 1993-61720 A1 19940112 EP 1993-108177 CA 2096318 US 5407941 19930517 EP 577957 EP 1993-108177 19930519

EP	577957		B1	19950712				
	R: AT,	BE, CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC	, NL, PT,	SE
JP	06087856		A	19940329	JP 1993-117427		19930519	
JP	2730612		B2	19980325				
AT	124939		T	19950715	AT 1993-108177		19930519	
ES	2076817		Т3	19951101	ES 1993-108177		19930519	
KR	156518		В1	19981116	KR 1993-8812		19930521	
US	5476856		A	19951219	US 1995-391702		19950221	
PRIORITY	APPLN.	INFO.:			ES 1992-1054	A	19920522	
					US 1993-61720	A1	19930517	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 121:280552

GI

AB Nine title compds. I [R = H, halo, C1-4 alky1, C1-4 alkoxy] and a salt were prepared and tested. For example, the drug loratadine [II; R1 = C02Et] was treated with Me35iI in CHCl3 at 55-60° under Ar to give 77% II (R1 = H). N-alkylation of this by 3-methyl-5-(bromomethyl)pyridine [prepared in situ by NBS bromination of 3,5-lutidine] in CCl4 in the presence of DMAP gave 40% I (R = 5-Me) (III), the most active compound In a test for H1-antinistaminic activity, III was 20 times as potent as the known unsubstituted 4-pyridyl analog, and 25-70 times as potent as loratadine and 2 other carbonyl-containing analogs. In tests of I and the standard compds. for antagonism of platelet activating factor (PAF), only II showed potent activity, being at least 10-fold more active than the other compds.

IT 158876-82-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of [(pyridylmethyl)piperidylidene]benzocycloheptapyridine derivs. as antihistaminics and PAF antagonists)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(pyridylmethyl)piperidylidene]benzocycloheptapyridine derivs. as antihistaminics and PAF antagonists)

RN 156522-82-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-(3-pyridinylmethyl)-4-piperidinylidene]- (CA INDEX NAME)

- RN 156522-86-0 ZCAPLUS
- CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 11-[1-(5-bromo-3-pyridinyl)methyl)-4-piperidinylidene]-8-chloro-6,11dihydro- (CA INDEX NAME)

- RN 156522-87-1 ZCAPLUS CN 5H-Benzo[5,6]cvclohep
 - 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11-dihydro- (CA INDEX NAME)

- RN 156522-88-2 ZCAPLUS
- CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11-dihydro- (CA INDEX NAME)

RN 156522-89-3 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(6-methoxy-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-94-0 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-95-1 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(4-methyl-3-pyridinyl)methyl]-4piperidinylidene] (CA INDEX NAME)

RN 156611-76-6 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 158876-81-4 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

L21 ANSWER 19 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:524597 ZCAPLUS DOCUMENT NUMBER: 121:124597

ORIGINAL REFERENCE NO.: 121:22229a,22232a

TITLE: [(3-Pyridylalkyl)piperidylidene]benzocycloheptapyridin
e Periyatiyes as Dual Antagonists of PAF and Histamine

e Derivatives às Dual Antagonists of PAF and Histamine AUTHOR(S): Carceller, Elena; Merlos, Manuel; Giral, Marta; Balsa, Dolors; Almansa, Carmen; Bartroli, Javier;

Garcia-Rafanell, Julian; Forn, Javier

CORPORATE SOURCE: Research Center, J. Uriach Cia.S.A., Barcelona, 08026,

Spain
SOURCE: Journal of Medicinal Chemistry (1994), 37(17),
2697-703

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:124597

AB A series of [(3-pyridylalkyl)piperidylidene]- and

(nicotinovlpiperidvlidene)benzocycloheptapyridine derivs. were prepared and evaluated for PAF antagonist and H1 antihistamine activity. PAF antagonist activity was investigated by the in vitro PAF-induced platelet aggregation assay (PPA) and the in vivo PAF-induced hypotension test in rats (PH) and mortality test in mice (PM). For the evaluation of H1 antihistamine activity, the in vitro histamine-induced contraction of the guinea-pig ileum assay (HC) and the in vivo histamine-induced hypotension test (HH) in normotensive rats were used. The potential antiallergic activity of the compds. was evaluated using the active anaphylactic shock test in mice. These compds. are structurally related to loratadine (1) and were generated by replacement of the ethoxycarbonyl group of 1 with substituted 3-pyridylmethyl and nicotinoyl moieties. Both anti-PAF and H1 antihistamine activities have shown a high dependence on the exact nature and position of the substituent in the pyridine ring. The optimum structure, incorporating a (5-methyl-3-pyridyl)methyl radical, displayed an unique dual activity inhibiting both PAF-induced effects (PPA, IC50 = $3.7 \mu M$; PH, ID50 = 0.44 mg/kg i.v.; PM, ID50 = 1.9 mg/kg po) and histamine-induced effects (HC, IC50 = 3.9 nM; HH, ID50 = 1.4 mg/kg i.v.). Furthermore, this compound was highly active in the passive cutaneous anaphylactic shock in rats (ID50 = 1.2 mg/kg po) and strongly protected mice and rats from mortality induced by endotoxin (ID50 = 1.2 and 0.5 mg/kg i.v., resp.). It showed itself to be devoid of CNS depressant effects, neither modifying spontaneous motor activity nor prolonging barbiturate-sleeping time in mice at a dose of 100 mg/kg po, and is now under development.

IT 156522-82-66 156522-83-7P 156522-86-0P 156522-89-1P 156522-88-2P 156522-89-3P 156522-93-6P 156522-93-6P 156522-93-6P 156522-93-6P 156522-95-1P 15

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antihistaminic and PAF-antagonistic activity of, structure in relation to)

RN 156522-82-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-(3-pyridinylmethyl)-4-piperidinylidene]- (CA INDEX NAME)

RN 156522-83-7 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(1-oxido-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-86-0 ZCAPLUS
SH-Benzo[5,6]cyclohepta[1,2-b]pyridine,
11-[1-[(5-brono-3-pyridiny)]methyl]-4-piperidinylidene]-8-chloro-6,11dihydro- (CA INDEX NAME)

- 156522-87-1 ZCAPLUS CN
- 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11dihydro- (CA INDEX NAME)

- RN 156522-88-2 ZCAPLUS
- 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, CN 8-chloro-11-[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11dihydro- (CA INDEX NAME)

- 156522-89-3 ZCAPLUS CN
 - 19932-99-3 June 1995 | SH-Benzolf-3 (1,2-b)pyridine, 8-chipridine, 1995 | SH-Benzolf-1 (1,2-b)pyridine, 9-chipridine, 1995 | SH-Benzolf-1 (1,0-b)pyridinyl)methyl]-4-pipyridine, 1995 | SH-Benzolf-1 (1,0-b)pyridinyl)methyl

- RN 156522-90-6 ZCAPLUS
- CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(2-methoxy-3-pyridinyl)methyl]-4piperidinylidene] - (CA INDEX NAME)

- RN 156522-91-7 ZCAPLUS
- CN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene]-1-piperidinyl]methyl]-, methyl ester, hydrochloride (1:3) (CA INDEX NAME)

- RN 156522-92-8 ZCAPLUS
- CN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]yclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 156522-93-9 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

RN 156522-94-0 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-95-1 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(4-methyl-3-pyridinyl)methyl]-4piperidinylidene] (CA INDEX NAME)

- RN 156611-76-6 ZCAPLUS
- CN 5H-Benze[5,6]cyclohepta[1,2-b]pyridine,
 8-chloro-6,11-dihydro-11-[1-[6-methy1-3-pyridiny1)methy1]-4piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

3 HC1

OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

=> d ibib abs hitstr 6-16

THE ESTIMATED COST FOR THIS REQUEST IS 65.56 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:y

L21 ANSWER 6 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:848861 ZCAPLUS

DOCUMENT NUMBER: 150:398361

TITLE: Process for preparation of highly pure Rupatadine and

intermediates INVENTOR(S): Patel, Mahesh Shankarbhai; Kumar, Rajiv; Dwivedi,

Shriprakash Dhar

PATENT ASSIGNEE(S):

Cadila Healthcare Limited, India SOURCE: Indian Pat. Appl., 28pp.

CODEN: INXXBO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU00864	A	20080704	IN 2006-MU864	20060605
PRIORITY APPLN. INFO.:			IN 2006-MU864	20060605
OTHER SOURCE(S):	CASREA	ACT 150:39836	1: MARPAT 150:398361	

This invention provides a process for the preparation of ACH2OSO2R [wherein A = 5-methyl-3-pyridyl; R = Me, Et, Pr, iso-Pr, Bu, iso-Bu, benzyl, tolyl, etc.) as intermediates for synthesizing highly pure Rupatadine. For example, Me 5-methylnicotinate was reduced with sodium borohydride to obtain 5-methyl-3-pyridylmethanol, followed by reaction with 4-methylbenzenesulfonyl chloride to give 5-methyl-3-pyridinemethanol tosylate. The previous obtained sulfonate was reacted with Desloratadine in acetone at 30-35 °C to give Rupatadine.

158876-82-5P, Rupatadine

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of highly pure Rupatadine and intermediates)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

IT 182349-12-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of highly pure Rupatadine and intermediates)

RN 182349-12-8 ZCAPLUS CN 5H-Benzo[5,6]cycloher

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM

1

CRN 158876-82-5

CMF C26 H26 C1 N3

CM

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

L21 ANSWER 7 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1468011 ZCAPLUS

DOCUMENT NUMBER: 148:262449

TITLE: Expedient synthesis of rupatadine

AUTHOR(S): Agarwal, Rajendra; Bhirud, Shekhar Bhaskar; Bijukumar,

Gopinathenpillai; Khude, Gopal Dnyandev

CORPORATE SOURCE: Research and Development Centre, Chemical Process
Research and Development, Macleods Pharmaceuticals
Ltd., Mumbai, India

SOURCE: Synthetic Communications (2008), 38(1), 122-127

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Taylor & Francis, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:262449

AB Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF), was synthesized in 91% overall yield.

IT 158876-82-5P, Rupatadine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)
(preparation of rupatadine starting from methylnicotinic acid)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinvlidenel- (CA INDEX NAME)

IT 182349-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of rupatadine starting from methylnicotinic acid)

RN 182349-12-8 ZCAPLUS CN 5H-Benzol5,61cvcloher

SH-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CRN 158876-82-5 CMF C26 H26 C1 N3

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

CO2H HO₂C

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1460686 ZCAPLUS

DOCUMENT NUMBER: 149:513665

TITLE: Synthesis of 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-

pvridinvl)methvl]-4-piperidinvlidene]-5Hbenzo[5,6]cyclohepta[1,2-b]pyridine (rupatadine)

Zhang, Wanjin; Luo, Yan; Zhang, Yanmei AUTHOR(S):

Dept. of Medicinal Chemistry, Guangdong Pharmaceutical CORPORATE SOURCE:

College, Guangzhou, Guangdong Province, 510224, Peop. Rep. China

SOURCE: Zhongguo Yiyao Gongye Zazhi (2006), 37(7), 433-435 CODEN: ZYGZEA; ISSN: 1001-8255

PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese OTHER SOURCE(S): CASREACT 149:513665

Rupatadine was synthesized from 2-cyano-3-methylpyridine by a synthetic sequence involving a Ritter reaction, alkylation, cyanidation, hydrolysis and cyclization to give 8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2b|pyridin-11-one, which was subjected to Grignard reaction and then

dehydration with an overall yield of 18.7%.

158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of rupatadine via synthetic sequence involving Ritter reaction, alkylation, cyanation, hydrolysis, cyclization, formation of chlorodihydrobenzo[5,6]cyclohepta[1,2-b]pyridinone, Grignard reaction

and dehydration) 158876-82-5 ZCAPLUS RN

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihvdro-11-[1-[(5-methvl-3-pvridinvl)methvl]-4piperidinvlidenel- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 9 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:655783 ZCAPLUS

DOCUMENT NUMBER: 148:426751

TITLE: Process for the synthesis of rupatadine

INVENTOR(S): Rajendra, Agarwal; Gopinathan, Pillai Bijukumar; Dnyandev, Khude Gopal; Bhaskar, Bhirud Shekhar

PATENT ASSIGNEE(S): MacLeods Pharmaceuticals Limited, India

SOURCE: Indian Pat. Appl., 14pp.

> CODEN: INXXBO Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20070608 IN 2006-MU2102 IN 2006MU02102 20061222 IN 2006-MU2102 PRIORITY APPLN. INFO.: 20061222 CASREACT 148:426751

OTHER SOURCE(S):

An improved and industrially feasible process for the preparation of 8-chloro-6,1-dihydro-11-[1-[(methyl-3-pyridinyl)methyl]-4piperidinylidene]-5H-benzo[5,6]cyclohepta[1,2b]pyridine (rupatadine). Rupatadine was prepared by esterification of 5-methylnicotinic acid; the resulting 5-methylnicotinate underwent reduction to give 5-methylpyridine-3-methanol, which underwent chlorination to give the corresponding chloromethylpyridine, which underwent condensation with desloratadine to give rupatadine, which was reacted with fumaric acid to give rupatadine fumarate.

158876-82-5P, Rupatadine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the synthesis of rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinyliden|- (CA INDEX NAME)

IT 182349-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (process for the synthesis of rupatadine)

RN 182349-12-8 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM

1

CN

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L21 ANSWER 10 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1236016 ZCAPLUS

DOCUMENT NUMBER: 146:45400

TITLE: Method for preparation of Rupatadine and its salt

INVENTOR(S): Qu, Feng; Wang, Yusheng PATENT ASSIGNEE(S): Beijing Dezhong-Venture Pharmaceutical Technology Co.,

Ltd., Peop. Rep. China

KIND

Α

В

SOURCE: Faming Zhuanli Shenging Gongkai Shuomingshu, 6pp.

CODEN: CNXXEV DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO.

CN 1865259 CN 1865259 PRIORITY APPLN. INFO .: DATE APPLICATION NO. DATE 20061122 CN 2005-10070952 20050519 20100929 20050519

CN 2005-10070952

OTHER SOURCE(S): CASREACT 146:45400 GI

- AB In this invention, Rupatadine is prepared by the reduction of I amide carbonyl group with Red-Al in THF, toluene, or DMF. Rupatadine can be obtained by reducing the amido bonds in the mols. of the compound or its salt in formula II in the presence of Red-Al.
 - 158876-82-5P, Rupatadine

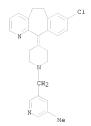
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of Rupatadine via the reduction of amide carbonyl group with Red-Al)

- RN 158876-82-5 ZCAPLUS
- 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6, 11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinylidene] - (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 11 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1147779 ZCAPLUS

DOCUMENT NUMBER: 145:471404

TITLE: Process for the preparation of rupatadine by PTC-catalyzed N-alkylation of desloratadine

INVENTOR(S): Khamar, Bakulesh Mafatlal; Modi, Indravadan Ambalal; Chandrakant, Shukla Manish; Kashyapbhai, Parikh

Krunal; Prabhakar, Dange Suryabhan; Ravi, Ponniah;

Jagdish, Desai Sanjay; Raman, J. Venkat Mafatlal, Khamar, Bakulesh, India; Ambalal, Modi,

Indravadan; Chandrakant, Shukla, Manish; Kashyapbhai, Parikh, Krunal; Prabhakar, Dange, Suryabhan; Jagdish,

Desai, Sanjay; Raman, J., Venkat

PCT Int. Appl., 10 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

	TENT :				KIN	D	DATE			APPL	ICAT	ION I	NO.	DATE			
WO	2006	1146	76		A2 A3		2006	1102		WO 2	006-	IB96	4			0060	
	W:	AE, CN,	AG, CO,	AL, CR,	AM, CU,	AT, CZ,	AU, DE, ID,	AZ, DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		KZ, MZ, SG,	LC, NA, SK,	LK, NG, SL,	LR, NI, SM,	LS, NO, SY,	LT, NZ, TJ,	LU, OM,	LV, PG,	LY, PH,	MA, PL,	MD, PT,	MG, RO,	MK, RU,	MN, SC,	MW, SD,	MX, SE,
	RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI, LS,	LU, CM, MW,	CY, LV, GA, MZ,	CZ, MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
ES	2005 2311 2311	MU00 426	516		A1	i	TM 2009 2009 2009	0201		IN 2 ES 2						0050 0060	

PRIORITY APPLN. INFO .: TN 2005-MU516 A 20050427

OTHER SOURCE(S): CASREACT 145:471404

A process for the preparation of rupatadine, a potent orally active dual antagonist of platelet-activated factor and histamine, which comprises N-alkylating desloratadine with 3-(bromomethyl)-5-methylpyridine (I) or analogs in biphasic solvent systems, is disclosed. For instance, a mixture of desloratadine, dichloromethane, tetrabutylammonium bromide and NaOH aqueous solution is cooled to 0-5°C. After a mixture of I HCl in dichloromethane was added, the whole was stirred at 0-5°C for 1 h and then at rt for 12 h to give rupatadine in 67.66% yield. A solution of this product in acetone was stirred with a solution of fumaric acid in methanol to afford rupatadine fumarate.

158876-82-5P, Rupatadine

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of rupatadine via PTC-catalyzed N-alkylation of desloratadine in biphasic solvent systems)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinvlidene] - (CA INDEX NAME)

IΤ 913746-24-4P 913746-25-5P 913746-26-6P 913746-27-7P 913746-28-8P 913746-29-9P 913746-30-2P 913746-31-3P 913746-32-4P 913746-33-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of rupatadine via PTC-catalyzed N-alkylation of desloratadine in biphasic solvent systems)

913746-24-4 ZCAPLUS RN

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, CN

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinvlidenel-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 913746-25-5 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrobromide (1:?) (CA INDEX NAME)

●x HBr

RN 913746-26-6 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CN

RN 913746-27-7 ZCAPLUS

SH-Benzo(5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, methanesulfonate (1:?) (CA INDEX NAME)

CM

1

CRN 75-75-2 CMF C H4 O3 S

RN 913746-28-8 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[15-methyl]-3-pyridinyl)methyl]-4piperidinylidenej-, benzenesulfonate (1:7) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM

CRN 98-11-3 CMF C6 H6 O3 S

RN 913746-29-9 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CRN 158876-82-5 CMF C26 H26 C1 N3

CM

CRN 77-92-9 CMF C6 H8 O7

RN 913746-30-2 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CN

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 913746-31-3 ZCAPLUS CN

SH-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methy1-3-pyridiny1)methy1]-4-piperidiny1idene]-, suffate [1:7) (CA INDEX NAME)

CM

CRN 7664-93-9 CMF H2 O4 S

RN 913746-32-4 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, phosphate (9CI) (CA INDEX NAME)

CM

CRN 7664-38-2 CMF H3 O4 P

HO-P-0:

RN 913746-33-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2006:620660 ZCAPLUS

DOCUMENT NUMBER: 146:142463

TITLE: Improved synthesis of 5-methylpyridine-3-carboxylic

acid, the intermediate of rupatadine

AUTHOR(S): Wang, Zhen-yu; Zhu, Xiong; Wang, Er-hua

CORPORATE SOURCE: Medicinal and Chemical Institute, China Pharmaceutical

University, Nanjing, 210009, Peop. Rep. China

SOURCE: Yaoxue Jinzhan (2005), 29(1), 31-33

CODEN: YJAIBE; ISSN: 1001-5094 Yaoxue Jinzhan Bianjibu PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 146:142463

Objective: To improve the synthesis of 5-methylpyridine-3-carboxylic acid. Methods: 5-methylpyridine-3-carboxylic acid, the intermediate of Rupatadine, was synthesized from 3,5-lutidine by the reaction of oxidation

with KMnO4. The reaction conditions were optimized with the orthogonal matrix. Results: The suitable conditions were obtained, and the yield was 51%.

158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of 5-methylpyridine-3-carboxylic acid as intermediate of rupatadine)

158876-82-5 ZCAPLUS RN

CN 5H-Benzo[5,6]cvclohepta[1,2-b]pvridine,

8-chloro-6,11-dihvdro-11-[1-[(5-methvl-3-pvridinvl)methvl]-4piperidinvlidenel- (CA INDEX NAME)

PUBLISHER:

L21 ANSWER 13 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER:

2005:515027 ZCAPLUS DOCUMENT NUMBER: 144:369863

TITLE: Synthesis of Rupatadine

AUTHOR(S): Xin, Shiu-bo; Wu, Fan-hong

CORPORATE SOURCE: College of Chemistry and Pharmaceutics, East China

University of Science and Technology, Shanghai,

200237, Peop. Rep. China SOURCE:

Zhongguo Xinyao Zazhi (2005), 14(4), 451-452

CODEN: ZXZHA6: ISSN: 1003-3734

Zhongguo Xinyao Zazhishe DOCUMENT TYPE: Journal

LANGUAGE: Chinese AB Rupatadine was prepared from Loratadine via hydrolysis and alkylation to

provide the product with overall yield 32.4%. 158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of Rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6, 11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene] - (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 14 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:652131 ZCAPLUS

DOCUMENT NUMBER: 139:214237

TITLE: Preparation of nitrate prodrugs able to release nitric oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic

and proliferative diseases

INVENTOR(S): Scaramuzzino, Giovanni

PATENT ASSIGNEE(S): Italy

SOURCE: Eur. Pat. Appl., 313 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT 1	10.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE		
						-												
EP	13366	502			A1		2003	0820		EP 2	002-	4250	75		2	0020	213	
										GR,		LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
PRIORITY GI	APPI	LN.	INFO	. :						EP 2	002-	4250	75		2	0020	213	

AB New pharmaceutical compds. of general formula F-(X)q (I) [q = 1-5,preferably 1; F is chosen among drugs such as δ -tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO2, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR1-M, OR1OR1-M, SR1NR2R1-M, NR2R1-M, NR2R1SR1-M, etc., R1 = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R2 = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R1, R2 = OH, SH, F, Cl, Br, OPO3H2, CO2H, etc.; bond between F and T = carboxylic ester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M2, OZ-M2, NR2Z-M2, R1Z-M2, OR1-M2, OR1Z-M2, M2 = M, R1-M, OR1-M, SR1-M, NR2R1-M; ZM2 = COCH2CH(M2)CH2N+Me3, COCH2CH2COM2, COCH(NHR2)CH2M2, etc.; Y = 4-COC6H4CH2ONO2, O(CH2)4ONO2, COCH(NH2)CH2ONO2, 3-OC6H4CH2ONO2, etc.] were prepared For example, a-tocopherol reacted with 4-HO2CC6H4CH2ONO2 to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal, tegumental, respiratory, gastrointestinal, genito-urinary and central nervous systems.

IJ

586349-06-69
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

586349-06-6 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, nitrate (1:?) (CA INDEX NAME)

CM 1

RN

CN

2 CRN 7697-37-2 CMF H N O3



OS.CITING REF COUNT:

19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS

RECORD (19 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:579665 ZCAPLUS DOCUMENT NUMBER: 131:184874

TITLE: Preparation of

> 8-chloro-6,11-dihydro-11[1-[(5-methyl-3pyridinyl)methyl]-4-piperidinylidene]-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine INVENTOR(S): Carceller, Elena; Jimenez, Perez J.; Salas, Jordi

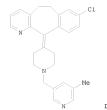
PATENT ASSIGNEE(S): J. Uriach & Cia. S. A., Spain

SOURCE: Span., 10 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2120899	A1	19981101	ES 1996-2107	19961007
ES 2120899	B1	19990616		
PRIORITY APPLN. INFO.:			ES 1996-2107	19961007
GI				



AB UR-12592 (I) was prepared by coupling 8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one with

N-[(5-methyl-3-pyridinyl)methyl]-4-chloropiperidine and dehydrating with H2SO4. 158876-82-5P, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 8-chloro-6,11-dihydro-11[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-5H-benzo[5,6]cyclohepta[1,2-b]pyridine (UR-12592))

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

C1 N CH2

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L21 ANSWER 16 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 1997:30150 ZCAPLUS DOCUMENT NUMBER: 126:69591

ORIGINAL REFERENCE NO.: 126:13317a,13320a

TITLE: Rupatadine fumarate. UR-12592 fumarate. Antiallergic. Histamine and PAF antagonist

AUTHOR(S): Garcia-Rafanell, J.

CORPORATE SOURCE: J. Uriach and Cia., Barcelona, 08026, Spain SOURCE: Drugs of the Future (1996), 21(10), 1032-1036

CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review, with 21 refs., describing the synthesis, pharmacol. actions,

pharmacokinetics, toxicity, and clin. uses of the title drug.

T 158876-82-5P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BFR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation and pharmacol. of)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

=> d his

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

L1 STRUCTURE UPLOADED L2 1 S SAM SSS L1

> FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011 L4 0 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011

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L5
            1 S SAM SSS L1
L6
              STRUCTURE UPLOADED
             0 S SAM SSS L6
1.7
1.8
            31 S FULL SSS L6
    FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011
L9
           124 S L8
    FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011
    FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011
L10
             4 S L9 AND (CRYSTAL OR CRYSTALLINE)
L11
             1 S L9 AND POLYMORPH
L12
             5 S L9 AND POLYMORPH?
L13
             3 S L12 NOT L10
L14
             2 S L9 (L) POLYMORPH?
L15
             1 S L9 (W) POLYMORPH?
L16
             2 S L14 NOT L13
L17
             0 S L14 AND L13
L18
             0 S L14 NOT L10
L19
            21 S L8/PREP
L20
            19 S L19 NOT L10
            19 S L20 NOT L13
L21
=> exit
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y) /N/HOLD: y
                                                SINCE FILE TOTAL
ENTRY SESSION
COST IN U.S. DOLLARS
                                                              355.27
FULL ESTIMATED COST
                                                    149.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                              SINCE FILE
                                                               TOTAL
                                                    ENTRY
                                                             SESSION
CA SUBSCRIBER PRICE
                                                     -18.27
                                                               -18.27
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STN INTERNATIONAL LOGOFF AT 09:27:45 ON 13 APR 2011